## Patent Claims

## 1. Compounds of the formula I

5			R <sup>1</sup>
		R	$R^2$
			0 /
10		·	N O R <sup>3</sup>
		in which	
		•	
		R	denotes H, A, A-CO-, Hal, -C≡C-H, -C≡C-A or -C≡C-
15			C(=O)-A,
		R <sup>1</sup>	denotes H, =O, Hal, A, OH, OA, A-COO-, Ph-(CH <sub>2</sub> ) <sub>n</sub> -
			COO-, cycloalkyl-(CH <sub>2</sub> ) <sub>n</sub> -COO-, A-CONH-, A-CONA-,
			Ph-CONA-, N <sub>3</sub> , NH <sub>2</sub> , NO <sub>2</sub> , CN, COOH, COOA, CONH <sub>2</sub> ,
20		4	CONHA, CON(A) <sub>2</sub> , O-allyl, O-propargyl, O-benzyl, =N-
. 20	•		OH, =N-OA or = $CF_2$ ,
	•	Ph	denotes phenyl which is unsubstituted or mono-, di- or
			trisubstituted by A, OA or Hal,
		$R^2$	denotes H, Hal or A,
25		$R^3$	denotes a monocyclic saturated, unsaturated or aro-
			matic heterocycle having 1 to 4 N, O and/or S atoms,
		•	which may be unsubstituted or mono-, di- or trisubsti-
			tuted by Hal, A, OA, CN, (CH <sub>2</sub> ) <sub>n</sub> OH, (CH <sub>2</sub> ) <sub>n</sub> Hal, NR <sup>4</sup> R <sup>5</sup> ,
30			=NH, =N-OH, =N-OA and/or carbonyl oxygen (=O),
	•		or CONR⁴R⁵,
		R⁴, R⁵,	independently of one another, denote H or A,
-		R⁴ and R⁵	together also denote an alkylene chain having 3, 4 or 5
25			C atoms, which may also be substituted by A, Hal, OA
35	,		and/or carbonyl oxygen (=CO),

	•		
		Α	denotes unbranched, branched or cyclic alkyl having
		,	1-10 C atoms, in which 1-7 H atoms may also be
			replaced by F and/or chlorine,
5	•	Hal	denotes F, Cl, Br or I,
		n .	denotes 0, 1, 2, 3 or 4,
		and pharmad	ceutically usable derivatives, salts, solvates and stereo-
		isomers ther	eof, including mixtures thereof in all ratios.
10		•	
10 .	2.	Compounds	according to Claim 1, in which
•	•	R	denotes Hal or -C≡C-H,
		and pharmac	ceutically usable derivatives, salts, solvates and stereo-
		isomers there	eof, including mixtures thereof in all ratios.
15		•,•	
	3.	Compounds	according to Claim 1 or 2, in which
	•	R <sup>3</sup> de	notes a monocyclic saturated, unsaturated or aromatic
		he	terocycle having 1 to 4 N, O and/or S atoms, which may
20		be	unsubstituted or mono-, di- or trisubstituted by Hal, A,
٠		O/	A, =NH and/or carbonyl oxygen (=O),
		or	CONR⁴R⁵
		$R^4$ , $R^5$ , inc	dependently of one another, denote H or A,
25	-	R <sup>4</sup> and R <sup>5</sup> to	gether also denote an alkylene chain having 3, 4 or 5 C
20		ato	oms,
		and pharmac	eutically usable derivatives, salts, solvates and stereo-
	•	isomers there	eof, including mixtures thereof in all ratios.
		· ·	
30	4.	Compounds	according to one or more of Claims 1-3, in which
		R <sup>3</sup> de	notes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1H-
		ру	ridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1 <i>H</i> -pyridin-1-yl,
,		2-0	oxo-1 <i>H</i> -pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-imino-
35		pip	peridin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl,
		2-i	minoimidazolidin-1-yl, 2-imino-1 <i>H</i> -pyrazin-1-yl, 2,6-

dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoaze-pan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl, 4*H*-1,4-oxazin-4-yl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl, optionally mono- or disubstituted by Hal and/or A, or CONR<sup>4</sup>R<sup>5</sup>.

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CONR⁴R⁵

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R<sup>4</sup>, R<sup>5</sup>, independently of one another, denote H or A,
R<sup>4</sup> and R<sup>5</sup> together also denote an alkylene chain having 3, 4 or 5 C atoms,

and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

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Compounds according to one or more of Claims 1-4, in which
 R<sup>1</sup> denotes H, =O, OH, OA, A-COO-, Ph-(CH<sub>2</sub>)<sub>n</sub>-COO-,
 cycloalkyl-(CH<sub>2</sub>)<sub>n</sub>-COO-,

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Ph denotes unsubstituted phenyl, and pharmaceutically usable derivatives, salts, solvates and stereo-isomers thereof, including mixtures thereof in all ratios.

6. Compounds according to one or more of Claims 1-5, in which R denotes Hal or -C≡C-H,

 $R^1$  denotes H, =0, OH, OA, A-COO-, Ph-(CH<sub>2</sub>)<sub>n</sub>-COO-, cycloalkyl-(CH<sub>2</sub>)<sub>n</sub>-COO-,

Ph denotes unsubstituted phenyl,

 $R^2$ 

denotes H, Hal or A,

 $R^3$ 

denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1H-pyrazin-1-yl, 2,6-dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3oxazolidin-3-yl, 3-oxo-2H-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3oxazinan-3-yl, 4H-1,4-oxazin-4-yl, furyl, thienyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrimidinyl, triazolyl, tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl or pyrazinyl, optionally mono- or disubstituted by Hal and/or A, or CONR⁴R⁵,

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R<sup>4</sup>, R<sup>5</sup>, independently of one another, denote H or A, R<sup>4</sup> and R<sup>5</sup> together also denote an alkylene chain having 3, 4 or 5 C atoms.

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and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

7.

 $R^3$ 

Compounds according to one or more of Claims 1-6, in which denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1Hpyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3oxo-2H-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-

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1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

optionally mono- or disubstituted by Hal and/or A, and pharmaceutically usable derivatives, salts, solvates and stereo-isomers thereof, including mixtures thereof in all ratios.

- 8. Compounds according to one or more of Claims 1-7, in which

  R<sup>3</sup> denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*
  pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl,

  2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-di
  oxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1
  yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3
  oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan
  1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-dihydro-1*H*
  pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4
  oxazin-4-yl,
- and pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios.
- Compounds according to one or more of Claims 1-8, in which 9. R denotes Hal or -C≡C-H, 25  $R^1$ denotes H, =O, OH, OA, A-COO-, Ph- $(CH_2)_n$ -COO-, cycloalkyl-(CH<sub>2</sub>)<sub>n</sub>-COO-, Ph denotes unsubstituted phenyl,  $R^2$ denotes H, Hal or A, 30  $R^{3}$ denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-

dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopipera-

zin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxo-

2-yl, 5,6-
kazinan-3-yl
l having
o be
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nd stereo-
olin-4-
-
olin-4-yl)-
henyl]}-4-
phenyl]}-4-
enyl]}-4-
olin-4-yl)-
dinyl)-
lin-4-yl)-
olin-4-yl)-

phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,

		·
		1-N-[(4-chlorophenyl)]-2-N-{[3-fluoro-4-(2-oxopyrrolidinyl)-
		phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,
		1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(2-oxo-2 <i>H</i> -pyridin-1-yl)-
	•	phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,
5		1-N-[(4-chlorophenyl)]-2-N-{[4-(2-azabicyclo[2.2.2]-octan-3-on-2-
		yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,
	-	1-N-[(4-chlorophenyl)]-2-N-{[3-trifluoromethyl-4-(2-azabicyclo-
		[2.2.2]-octan-3-on-2-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarbox-
4.0		amide,
10	•	1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(2-azabicyclo[2.2.2]-
		octan-3-on-2-yl)phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,
		1-N-[(4-chlorophenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
		pyrazolidine-1,2-dicarboxamide,
15		1-N-[(4-chlorophenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-
		phenyl]}pyrazolidine-1,2-dicarboxamide,
٠	• •	1-N-[(4-chlorophenyl)]-2-N-{[3-fluoro-4-(3-oxomorpholin-4-yl)-
-		phenyl]}pyrazolidine-1,2-dicarboxamide,
20		1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(2-oxo-2 <i>H</i> -pyridin-1-yl)-
•	·	phenyl]}pyrazolidine-1,2-dicarboxamide,
	*	1-N-[(4-chlorophenyl)]-2-N-{[3-chloro-4-(2-azabicyclo[2.2.2]-
		octan-3-on-2-yl)phenyl]}pyrazolidine-1,2-dicarboxamide,
25		1-N-[(4-chlorophenyl)]-2-N-{[3-methyl-4-(2-oxopyrrolidinyl)-
		phenyl]}pyrazolidine-1,2-dicarboxamide,
		1-N-[(4-chlorophenyl)]-2-N-{[4-(3-oxomorpholin-4-yl)phenyl]}-4-
	•	oxopyrazolidine-1,2-dicarboxamide,
30		1-N-[(4-chlorophenyl)]-2-N-{[4-(2-oxopiperidinyl)phenyl]}pyra-
		zolidine-1,2-dicarboxamide,
		1-N-[(4-chlorophenyl)]-2-N-{[4-(3-oxomorpholin-4-yl)phenyl]}-
		pyrazolidine-1,2-dicarboxamide,
	•	1-N-[(4-chlorophenyl)]-2-N-{[2-fluoro-4-(3-oxomorpholin-4-yl)-
35		phenyl]}pyrazolidine-1,2-dicarboxamide,

	1-N-[(4-chlorophenyl)]-2-N-{[3-trifluoromethyl-4-(2-azabicyclo-
	[2.2.2]-octan-3-on-2-yl)phenyl]}pyrazolidine-1,2-dicarboxamide,
	1-N-[(4-chlorophenyl)]-2-N-{[4-(2-azabicyclo[2.2.2]-octan-3-on-2-
	yl)phenyl]}pyrazolidine-1,2-dicarboxamide,
5	1-N-[(4-chlorophenyl)]-2-N-{[4-(2-oxo-1,3-oxazinan-3-yl)phenyl]}-
•	pyrazolidine-1,2-dicarboxamide,
	1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
•	pyrazolidine-1,2-dicarboxamide,
4 0	1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-
10	phenyl]}pyrazolidine-1,2-dicarboxamide,
	1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-
	phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,
	1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
15	4-hydroxypyrazolidine-1,2-dicarboxamide,
•	1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-
	phenyl]}-4-hydroxypyrazolidine-1,2-dicarboxamide,
	1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-
20	phenyl]}-(R)-4-hydroxypyrazolidine-1,2-dicarboxamide,
	1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
	(R)-4-hydroxypyrazolidine-1,2-dicarboxamide,
	1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-
25	phenyl]}-(R)-4-hydroxypyrazolidine-1,2-dicarboxamide,
20	1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-
	phenyl]}-(S)-4-hydroxypyrazolidine-1,2-dicarboxamide,
	1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
	(S)-4-hydroxypyrazolidine-1,2-dicarboxamide,
.30	1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-
	phenyl]}-(S)-4-hydroxypyrazolidine-1,2-dicarboxamide,
	1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-
	phenyl]}-4-acetoxypyrazolidine-1,2-dicarboxamide,
35	1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
	4-benzylcarbonyloxypyrazolidine-1,2-dicarboxamide,
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		1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-
		phenyl]}-4-benzoyloxypyrazolidine-1,2-dicarboxamide,
		1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-
•		phenyl]}-4-tert-butylcarbonyloxypyrazolidine-1,2-dicarboxamide,
5		1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
		4-isobutylcarbonyloxypyrazolidine-1,2-dicarboxamide,
		1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-
		phenyl]}-4-cyclohexylmethylcarbonyloxypyrazolidine-1,2-dicarbox-
		amide,
10		1-N-[(4-ethynylphenyl)]-2-N-{[3-chloro-4-(3-oxomorpholin-4-yl)-
	٠	phenyl]}-4-cyclopentylcarbonyloxypyrazolidine-1,2-dicarboxamide,
		1-N-[(4-ethynylphenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
	,	4-cyclopropylmethylcarbonyloxypyrazolidine-1,2-dicarboxamide,
15		1-N-[(4-ethynylphenyl)]-2-N-{[3-methyl-4-(3-oxomorpholin-4-yl)-
	·	phenyl]}-4-cyclobutylcarbonyloxypyrazolidine-1,2-dicarboxamide,
		1-N-[(4-bromophenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
		pyrazolidine-1,2-dicarboxamide,
20	•	1-N-[(4-bromophenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-4
		hydroxypyrazolidine-1,2-dicarboxamide,
		1-N-[(4-bromophenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
		(S)-4-hydroxypyrazolidine-1,2-dicarboxamide,
25		1-N-[(4-bromophenyl)]-2-N-{[4-(2-oxo-2 <i>H</i> -pyridin-1-yl)phenyl]}-
		(R)-4-hydroxypyrazolidine-1,2-dicarboxamide,
		and pharmaceutically usable derivatives, salts, solvates and stereo-
	٠	isomers thereof, including mixtures thereof in all ratios.
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	11.	Process for the preparation of compounds of the formula I according
•		to Claims 1-10 and pharmaceutically usable derivatives, salts, sol-
		vates and stereoisomers thereof, characterised in that
35		a) a compound of the formula II

$$R \longrightarrow NH_2$$
 []

in which R has the meaning indicated in Claim 1,

is reacted with a chloroformate derivative to give an intermediate carbamate derivative,

which is subsequently reacted with a compound of the formula III-

$$\mathbb{R}^1$$
 $\mathbb{R}^2$ 
 $\mathbb{R}^3$ 
 $\mathbb{R}^3$ 

in which

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R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have the meaning indicated in Claim 1, and, if R<sup>1</sup> denotes OH, the OH group is optionally in protected form

and subsequently, if desired, the OH-protecting group is removed,

or

b) a compound of the formula IV

$$H_2N$$
 $R^2$ 
 $R^3$ 
 $IV$ 

in which R<sup>2</sup> and R<sup>3</sup> have the meaning indicated in Claim 1,

is reacted with a chloroformate derivative to give an intermediate carbamate derivative,

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which is subsequently reacted with a compound of the formula III-2

in which R and R<sup>1</sup> have the meaning indicated in Claim 1, and, if R<sup>1</sup> denotes OH, the OH group is optionally in protected form,

and subsequently, if desired, the OH-protecting group is removed,

- and/or

  a base or acid of the formula I is converted into one of its salts.
- 12. Compounds of the formula I according to one or more of Claims 1 to 10 as inhibitors of coagulation factor Xa.
  - 13. Compounds of the formula I according to one or more of Claims 1 to 10 as inhibitors of coagulation factor VIIa.
  - 14. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.
  - 15. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof,

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18.

including mixtures thereof in all ratios, and at least one further medicament active ingredient.

- Use of compounds according to one or more of Claims 1 to 10 and/or 16. physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.
- Set (kit) consisting of separate packs of 17
  - an effective amount of a compound of the formula I according (a) to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and
  - (b) an effective amount of a further medicament active ingredient.
- Use of compounds of the formula I according to one or more of Claims 1 to 10 and/or pharmaceutically usable derivatives, salts, solvates and stereoisomers thereof, including mixtures thereof in all 25 ratios, for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, 30 migraine, tumours, tumour diseases and/or tumour metastases, in combination with at least one further medicament active ingredient.
  - 19. Intermediate compounds of the formula III-1

$$\mathbb{R}^{1}$$
 $\mathbb{R}^{2}$ 
 $\mathbb{R}^{3}$ 
 $\mathbb{R}^{1}$ 

5		
	in which	•
	R <sup>1</sup>	denotes H, =0, Hal, A, $OR^6$ , OA, A-COO-, Ph- $(CH_2)_n$ -
	-	COO-, cycloalkyl-(CH <sub>2</sub> ) <sub>n</sub> -COO-, A-CONH-, A-CONA-,
10	•	Ph-CONA-, N <sub>3</sub> , NH <sub>2</sub> , NO <sub>2</sub> , CN, COOH, COOA, CONH <sub>2</sub> ,
10 .		CONHA, CON(A) <sub>2</sub> , O-allyl, O-propargyl, O-benzyl,
		=N-OH, = $\hat{N}$ -OA, or =CF <sub>2</sub> ,
	Ph ·	denotes phenyl which is unsubstituted or mono-, di- or
	·	trisubstituted by A, OA or Hal,
15	$R^2$	denotes H, Hal or A,
	$R^3$	denotes a monocyclic saturated, unsaturated or aro-
•		matic heterocycle having 1 to 4 N, O and/or S atoms,
•		which may be unsubstituted or mono-, di- or trisubsti-
20		tuted by Hal, A, OA, CN, (CH <sub>2</sub> ) <sub>n</sub> OH, (CH <sub>2</sub> ) <sub>n</sub> Hal, NR <sup>4</sup> R <sup>5</sup> ,
٠	•	=NH, =N-OH, =N-OA and/or carbonyl oxygen (=O),
	<del>-</del>	CONR⁴R⁵,
	R⁴, R⁵,	independently of one another, denote H or A,
25	R <sup>4</sup> and R <sup>5</sup>	together also denote an alkylene chain having 3, 4 or 5
20		C atoms, which may also be substituted by A, Hal, OA
	•	and/or carbonyl oxygen (=CO),
	$R^6$	denotes an OH-protecting group,
	Α	denotes unbranched, branched or cyclic alkyl having
30	•	1-10 C atoms, in which 1-7 H atoms may also be
		replaced by F and/or chlorine,
	Hal	denotes F, Cl, Br or I,
	n .	denotes 0, 1, 2, 3 or 4,
35	and isome	rs and salts thereof.

	20.	Intermediate compounds according to Claim 19,
		in which
		$R^1$ denotes H, =O, $OR^6$ , OA, A-COO-, Ph-(CH <sub>2</sub> ) <sub>n</sub> -COO- or
		cycloalkyl-(CH <sub>2</sub> ) <sub>n</sub> -COO-,
5		Ph denotes unsubstituted phenyl,
		R <sup>2</sup> denotes H, Hal or A,
		R <sup>3</sup> denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-
		1H-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1H-pyridin-1-
40		yl, 2-oxo-1 <i>H</i> -pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-
10		dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopipera-
•		zin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-
		yl, 3-oxo-2 <i>H</i> -pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxo-
÷		azepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6-
15		dihydro-1 <i>H</i> -pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-y
		or 4 <i>H-</i> 1,4-oxazin-4-yl,
	•	R <sup>6</sup> denotes an OH-protecting group,
	•	A denotes unbranched, branched or cyclic alkyl having
20		1-10 C atoms, in which 1-7 H atoms may also be
•		replaced by F and/or chlorine,
	•	Hal denotes F, Cl, Br or I,
	•	n denotes 0, 1, 2, 3 or 4,
25		and isomers and salts thereof.
25		
	21.	Intermediate compounds according to Claim 20,
	•	in which
		R <sup>1</sup> denotes H, =O or OR <sup>6</sup> ,
30		R <sup>2</sup> denotes H, Hal or A,
		R <sup>3</sup> denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-
		1H-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1H-pyridin-1-
•		yl, 2-oxo-1 <i>H</i> -pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2,6-
35		dioxopiperidin1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopipera-
		zin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-

yl, 3-oxo-2H-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]-octan-3-on-2-yl, 5,6dihydro-1H-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3yl, 4*H*-1,4-oxazin-4-yl,

 $R^6$ 5

denotes an alkylsilyl protecting group,

Α

denotes unbranched, branched or cyclic alkyl having 1-10 C atoms, in which 1-7 H atoms may also be replaced by F and/or chlorine,

Hal 10

denotes F, Cl, Br or I, denotes 0, 1, 2, 3 or 4,

and isomers and salts thereof.

Intermediate compounds of the formula III-2 22.

15

20

in which

R

denotes H, A, A-CO-, Hal, -C≡C-H, -C≡C-A or

25

 $-C \equiv C - C (= O) - A$ ,

 $R^1$ 

denotes H, =O, Hal, A, OR<sup>6</sup>, OA, A-COO-, Ph-(CH<sub>2</sub>)<sub>n</sub>-COO-, cycloalkyl-(CH<sub>2</sub>)<sub>n</sub>-COO-, A-CONH-, A-CONA-.

Ph-CONA-, N<sub>3</sub>, NH<sub>2</sub>, NO<sub>2</sub>, CN, COOH, COOA, CONH<sub>2</sub>,

30

CONHA, CON(A)<sub>2</sub>, O-allyl, O-propargyl, O-benzyl, =N-

OH, =N-OA or = $CF_2$ ,

Ph

denotes phenyl which is unsubstituted or mono-, di- or

trisubstituted by A, OA or Hal,

 $R^6$ 

denotes an OH-protecting group,

			·
•	•	А	denotes unbranched, branched or cyclic alkyl having
	•		1-10 C atoms, in which 1-7 H atoms may also be
			replaced by F and/or chlorine,
	÷	Hal	denotes F, Cl, Br or I,
5		n	denotes 0, 1, 2, 3 or 4,
		where, if R <sup>1</sup>	denotes H, R does not denote CI,
• .		and isomers	s and salts thereof.
10	23.	Intermediate	e compounds according to Claim 22,
. •		in which	
		R	denotes Hal or -C≡C-H,
•		R <sup>1</sup>	denotes H, =0, $OR^6$ , OA, A-COO-, $Ph$ -( $CH_2$ ) <sub>n</sub> -COO- or
			cycloalkyl-(CH <sub>2</sub> ) <sub>n</sub> -COO-,
15		Ph	denotes phenyl which is unsubstituted or mono-, di- or
			trisubstituted by A, OA or Hal,
		$R^6$	denotes an OH-protecting group,
•		Α	denotes unbranched, branched or cyclic alkyl having
20			1-10 C atoms, in which 1-7 H atoms may also be
•			replaced by F and/or chlorine,
		Hal	denotes F, Cl, Br or I,
		n	denotes 0, 1, 2, 3 or 4,
25	• .	where, if R <sup>1</sup>	denotes H, R does not denote CI,
•		and isomers	and salts thereof.
•			
	23.	Intermediate	compounds according to Claim 22,
20		in which	
30		R .	denotes Hal or -C≡C-H,
		R <sup>1</sup>	denotes H, =O or OR <sup>6</sup> ,
		$R^6$	denotes an alkylsilyl protecting group,
	. 7	Hal	denotes F, Cl, Br or I,

where, if R<sup>1</sup> denotes H, R does not denote Cl,

and isomers and salts thereof.

24. Intermediate compounds of the formula VI

in which

 $R^1$  denotes OH or  $OR^6$ ,

R<sup>6</sup> denotes a silyl protecting group,

R<sup>7</sup> denotes *tert*-butyloxycarbonyl (BOC) or benzyloxy-carbonyl (Z),

and isomers thereof.

15 25. Process for the preparation of compounds of the formula VI

20

25

5

10

in which

R<sup>1</sup> denotes OH or OR<sup>6</sup>,

R<sup>6</sup> denotes a silyl protecting group,

R<sup>7</sup> denotes *tert*-butyloxycarbonyl (BOC) or benzyloxycarbonyl (Z),

and isomers thereof, obtainable by reaction of a compound of the formula VII

 $R^7$ -NHNH<sub>2</sub> VII,

in which R<sup>7</sup> denotes BOC or Z,

with silyl-protected 1,3-dibromopropan-2-ol, and optionally subsequent removal of the protecting group.